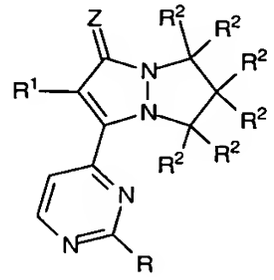
WHAT IS CLAIMED IS:

1. A method for providing pain relief to humans or higher mammals, said method comprising the step of administering to a human or higher mammal an effective amount of a compound, including all enantiomeric and diasteriomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein R is:

a) $-O[CH_2]_kR^3$; or

b) $-NR^{4a}R^{4b}$;

R^3 is substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5;

R^{4a} and R^{4b} are each independently:

a) hydrogen; or

b) $-[C(R^{5a}R^{5b})]_mR^6$;

each R^{5a} and R^{5b} are independently hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; C_1-C_4 linear, branched, or cyclic alkyl, and mixtures thereof; R^6 is hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted heterocyclic, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

R^7 is hydrogen, a water-soluble cation, C_1-C_4 alkyl, or substituted or unsubstituted aryl; the index m is from 0 to 5;

R^1 is:

a) substituted or unsubstituted aryl; or

b) substituted or unsubstituted heteroaryl;

each R^2 unit is independently selected from the group consisting of:

a) hydrogen;

b) $-(CH_2)_jO(CH_2)_nR^8$;

c) $-(CH_2)_jNR^{9a}R^{9b}$;

d) $-(CH_2)_jCO_2R^{10}$;

e) $-(CH_2)_jOCO_2R^{10}$

f) $-(CH_2)_jCON(R^{10})_2$;

g) $-(CH_2)_jOCON(R^{10})_2$;

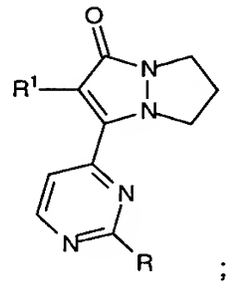
h) two R^2 units can be taken together to form a carbonyl unit;

i) and mixtures thereof;

R^8, R^{9a}, R^{9b}, and R^{10} are each independently hydrogen, C_1-C_4 alkyl, and mixtures thereof; R^{9a} and R^{9b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two R^{10} units can be take together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5; Z is O, S, NR^{11}, or NOR^{11}; R^{11} is hydrogen or C_1-C_4 alkyl.

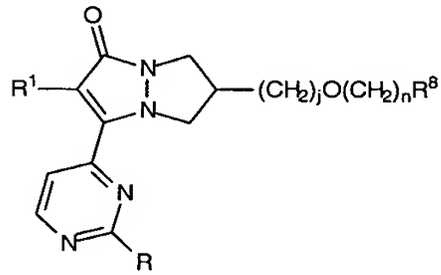
2. A method according to Claim 1 wherein said compound is selected from scaffolds having the formula:

i)



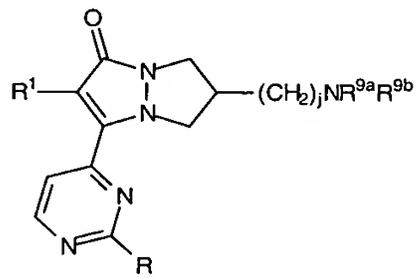
;

ii)



;

iii)



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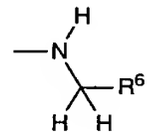
3. A method according to Claim 2 wherein R is a unit having the formula $-OR^3$ wherein R^3 is selected from the group consisting of phenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,6-difluorophenyl, 2-cyanophenyl, 3-cyanophenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-methylphenyl, 4-methylphenyl, 2,4-dimethylphenyl, 3-N-acetyl-

aminophenyl, 2-methoxyphenyl, 4-methoxyphenyl, and 3-benzo[1,3]dioxol-5-yl; R^1 is 4-fluorophenyl.

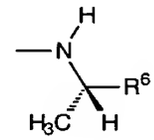
4. A method according to Claim 2 wherein R is a unit selected form:

i)



; and

ii)



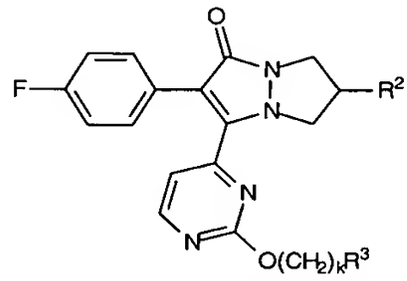
wherein R^6 is selected from the group consisting of hydrogen, methyl, ethyl, vinyl, cyclopropyl, cyclohexyl, methoxymethyl, methoxyethyl, 1-hydroxy-1-methylethyl, carboxy, phenyl, 4-fluorophenyl, 2-aminophenyl, 2-methylphenyl, 4-methylphenyl, 4-methoxy-phenyl, 4-(propanesulfonyl)phenyl, 3-benzo[1,3]dioxol-5-yl, pyridin-2-yl, pyridin-3-yl; R^8 is hydrogen or C_1-C_4 alkyl, the indices j and n are each equal to 0.

5. A method according to Claim 4 wherein R^{9a} and R^{9b} are each independently hydrogen or C_1-C_4 alkyl, the index j is equal to 0.

6. A method according to Claim 5 wherein R^{9a} and R^{9b} are taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms, the index j is equal to 0.

7. A method according to Claim 6 wherein said ring is morpholin-1-yl, piperidin-1-yl, or piperazin-1-yl.

8. A method according to Claim 1 wherein said compound has the formula:



wherein each R^2 unit is independently selected from the group consisting of:

a) hydrogen;

b) $-(CH_2)_jO(CH_2)_nR^8$;

c) $-(CH_2)_jNR^{9a}R^{9b}$;

d) $-(CH_2)_jCO_2R^{10}$;

e) $-(CH_2)_jOCO_2R^{10}$

f) $-(CH_2)_jCON(R^{10})_2$;

g) $-(CH_2)_jOCON(R^{10})_2$;

h) two R^2 units can be taken together to form a carbonyl unit;

i) and mixtures thereof;

R^8, R^{9a}, R^{9b}, and R^{10} are each independently hydrogen, C_1-C_4 alkyl, and mixtures thereof; R^{9a} and R^{9b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two R^{10} units can be take together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5; R^3 is substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5.

9. A method according to Claim 1 wherein said compound is selected from the group consisting of:

2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

N-(3-{4-[2-(4-Fluoro-phenyl)-3-oxo-6,7-dihydro-3H,5H-pyrazolo[1,2-a]pyrazol-1-yl]-pyrimidin-2-yloxy}-phenyl)-acetamide;

2-(4-Fluorophenyl)-3-[2-(2,4-dimethylphenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(2,4-Difluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(4-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(2,6-difluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(2-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one; and

2-(4-Fluorophenyl)-3-[2-(3-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(*S*)-(1-phenylethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(N'-methyl-N'-phenylhydrazino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

(*R*)-{4-[2-(4-Fluorophenyl)-3-oxo-6,7-dihydro-3*H*,5*H*-pyrazolo[1,2-*a*]pyrazol-1-yl]-pyrimidin-2-ylamino}-phenylacetic acid methyl ester;

2-(4-Fluorophenyl)-3-(2-benzylaminopyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(1-(*S*)-methylethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(allylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[1-(*S*)-(4-methylphenyl)ethylamino]pyrimidin-4-yl}-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(1-(*S*)-cyclohexyl-ethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(1-(*R*)-phenylethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(*tert*-butylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(2-hydroxy-1,2-dimethylpropylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-cyclopropylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-cyclopropylmethyl)aminopyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-methoxyethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-methoxyethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[1-(*S*)-(4-fluorophenyl)ethylamino]pyrimidin-4-yl}-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(pyridin-3-ylmethyl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-morpholin-4-yl-3-[2-(4-flurorophenoxy)-pyrimidin-4-yl]-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one;

6-Dimethylamino-2-(4-fluorophenyl)-3-[2-(1-phenylethylamino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-hydroxy-3-(2-phenoxypyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

Morpholine-4-carboxylic acid 6-(4-fluorophenyl)-5-oxo-7-(2-phenoxypyrimidin-4-yl)-2,3-dihydro-1*H*,5*H*-pyrazolo[1,2-*a*]pyrazol-2-yl ester;

2-(4-Fluorophenyl)-6-methoxy-3-[2-(2-(S)-methoxy-1-methylethylamino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-methylene-3-[2-(2-(S)-phenyl-1-methylethylamino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-hydroxy-6-hydroxymethyl-3-(2-phenoxypyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(3-trifluoromethylphenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-(2-(6-aminopyrimidin-4-yloxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-[2-(3-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-[2-(2,4-dimethylphenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(2,4-difluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-[2-(4-chlorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[1-(*R*,*S*)-(4-fluorophenyl)ethylamino]pyrimidin-4-yl}-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-{4-[2-(4-Fluorophenyl)-3-oxo-6,7-dihydro-3*H*,5*H*-pyrazolo[1,2-*a*]pyrazol-1-yl]-pyrimidin-2-ylamino}-propionic acid;

2-{4-[2-(4-Fluorophenyl)-3-oxo-6,7-dihydro-3*H*,5*H*-pyrzolo[1,2-*a*]pyrazol-1-yl]-pyrimidin-2-ylamino}-*N*,*N*-dimethyl propionamide;

2-(4-Fluorophenyl)-3-(2-([1,3,4]thiadiazol-2-ylamino)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(pyridin-2-ylmethyl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-methoxypropylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

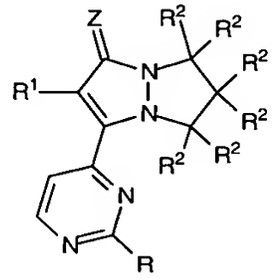
2-(4-Fluorophenyl)-3-{2-[(furan-2-ylmethyl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(3-benzo[1,3]dioxol-5-yl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(1-(propane-1-sulfonyl)piperidin-4-ylamino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one; and

2-(4-Fluorophenyl)-3-{2-(4-methoxybenzylamino)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one.

10. A method for reducing psoriasis in humans and higher mammals, said method comprising the step of administering to a human or high mammal an effective amount of compound, including all enantiomeric and diasteriomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein R is:

a) $-O[CH_2]_kR^3$; or

b) $-NR^{4a}R^{4b}$;

R^3 is substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5;

R^{4a} and R^{4b} are each independently:

a) hydrogen; or

b) $-[C(R^{5a}R^{5b})]_mR^6$;

each R^{5a} and R^{5b} are independently hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; C_1-C_4 linear, branched, or cyclic alkyl, and mixtures thereof; R^6 is hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted heterocyclic, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl;

R^7 is hydrogen, a water-soluble cation, C_1-C_4 alkyl, or substituted or unsubstituted aryl; the index m is from 0 to 5;

R^1 is:

a) substituted or unsubstituted aryl; or

b) substituted or unsubstituted heteroaryl;

each R^2 unit is independently selected from the group consisting of:

a) hydrogen;

b) $-(CH_2)_jO(CH_2)_nR^8$;

c) $-(CH_2)_jNR^{9a}R^{9b}$;

d) $-(CH_2)_jCO_2R^{10}$;

e) $-(CH_2)_jOCO_2R^{10}$

f) $-(CH_2)_jCON(R^{10})_2$;

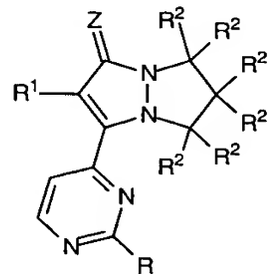
g) $-(CH_2)_jOCON(R^{10})_2$;

h) two R^2 units can be taken together to form a carbonyl unit;

i) and mixtures thereof;

R^8, R^{9a}, R^{9b}, and R^{10} are each independently hydrogen, C_1-C_4 alkyl, and mixtures thereof; R^{9a} and R^{9b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two R^{10} units can be take together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5; Z is O, S, NR^{11}, or NOR^{11}; R^{11} is hydrogen or C_1-C_4 alkyl.

11. A method for controlling disease states selected from the group consisting of congestive heart failure, hypertension, chronic obstructive pulmonary disease, septic shock syndrome, tuberculosis, adult respiratory distress asthma, atherosclerosis, muscle degeneration, periodontal disease, cachexia, Reiter's syndrome, gout, acute synovitis, eating disorders including anorexia, bulimia nervosa, fever, malaise, myalgia and headaches in humans, said method comprising the step of administering to a human an effective amount of a compound, including all enantiomeric and diasteriomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein R is:

a) $-O[CH_2]_kR^3$; or

b) $-NR^{4a}R^{4b}$;

R^3 is substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5; R^{4a} and R^{4b} are each independently:

a) hydrogen; or

b) $-[C(R^{5a}R^{5b})]_mR^6$;

each R^{5a} and R^{5b} are independently hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; C_1-C_4 linear, branched, or cyclic alkyl, and mixtures thereof; R^6 is hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted heterocyclic, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl; R^7 is hydrogen, a water-soluble cation, C_1-C_4 alkyl, or substituted or unsubstituted aryl; the index m is from 0 to 5;

R^1 is:

a) substituted or unsubstituted aryl; or

b) substituted or unsubstituted heteroaryl;

each R^2 unit is independently selected from the group consisting of:

a) hydrogen;

b) $-(CH_2)_jO(CH_2)_nR^8$;

c) $-(CH_2)_jNR^{9a}R^{9b}$;

d) $-(CH_2)_jCO_2R^{10}$;

e) $-(CH_2)_jOCO_2R^{10}$

f) $-(CH_2)_jCON(R^{10})_2$;

g) $-(CH_2)_jOCON(R^{10})_2$;

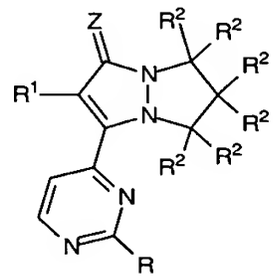
h) two R^2 units can be taken together to form a carbonyl unit;

i) and mixtures thereof;

R^8, R^{9a}, R^{9b}, and R^{10} are each independently hydrogen, C_1-C_4 alkyl, and mixtures thereof; R^{9a} and R^{9b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two R^{10} units can be take together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5; Z is O, S, NR^{11}, or NOR^{11}; R^{11} is hydrogen or C_1-C_4 alkyl.

12. A pharmaceutical composition comprising:

a) an effective amount of one or more bicyclic pyrazolones including all enantiomeric and diasteriomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein R is:

a) $-O[CH_2]_kR^3$; or

b) $-NR^{4a}R^{4b}$;

R^3 is substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5;

R^{4a} and R^{4b} are each independently:

a) hydrogen; or

b) $-[C(R^{5a}R^{5b})]_mR^6$;

each R^{5a} and R^{5b} are independently hydrogen, or C_1-C_4 linear, branched, or cyclic alkyl, and mixtures thereof; R^6 is hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted heterocyclic, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl; R^7 is hydrogen, a water-soluble cation, C_1-C_4 alkyl, or substituted or unsubstituted aryl; the index m is from 0 to 5;

R^1 is:

a) substituted or unsubstituted aryl; or

b) substituted or unsubstituted heteroaryl;

each R^2 unit is independently selected from the group consisting of:

a) hydrogen;

b) $-(CH_2)_jO(CH_2)_nR^8$;

c) $-(CH_2)_jNR^{9a}R^{9b}$;

d) $-(CH_2)_jCO_2R^{10}$;

e) $-(CH_2)_jOCO_2R^{10}$

f) $-(CH_2)_jCON(R^{10})_2$;

g) $-(CH_2)_jOCON(R^{10})_2$;

h) two R^2 units can be taken together to form a carbonyl unit;

i) and mixtures thereof;

R^8, R^{9a}, R^{9b}, and R^{10} are each independently hydrogen, C_1-C_4 alkyl, and mixtures thereof; R^{9a} and R^{9b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two R^{10} units can be take together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5;

Z is O, S, NR^{11}, or NOR^{11}; R^{11} is hydrogen or C_1-C_4 alkyl; and

b) one or more pharmaceutically acceptable excipients.

13. A pharmaceutical composition comprising:

a) an effective amount of one or more bicyclic pyrazolones including all enantiomeric and diasteriomeric forms and pharmaceutically acceptable salts thereof, said compound selected from the group consisting of:

2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

N-(3-{4-[2-(4-Fluoro-phenyl)-3-oxo-6,7-dihydro-3H,5H-pyrazolo[1,2-a]pyrazol-1-yl]-pyrimidin-2-yloxy}-phenyl)-acetamide;

2-(4-Fluorophenyl)-3-[2-(2,4-dimethylphenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(2,4-Difluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(4-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(2,6-difluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(2-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one; and

2-(4-Fluorophenyl)-3-[2-(3-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(*S*)-(1-phenylethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(N'-methyl-N'-phenylhydrazino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

(*R*)-{4-[2-(4-Fluorophenyl)-3-oxo-6,7-dihydro-3*H*,5*H*-pyrazolo[1,2-*a*]pyrazol-1-yl]-pyrimidin-2-ylamino}-phenylacetic acid methyl ester;

2-(4-Fluorophenyl)-3-(2-benzylaminopyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(1-(*S*)-methylethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(allylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[1-(*S*)-(4-methylphenyl)ethylamino]pyrimidin-4-yl}-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(1-(*S*)-cyclohexyl-ethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(1-(*R*)-phenylethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(*tert*-butylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[2-(2-hydroxy-1,2-dimethylpropylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-cyclopropylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-cyclopropylmethyl)aminopyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-methoxyethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-methoxyethylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[1-(*S*)-(4-fluorophenyl)ethylamino]pyrimidin-4-yl}-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(pyridin-3-ylmethyl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-morpholin-4-yl-3-[2-(4-flurorophenoxy)-pyrimidin-4-yl]-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one;

6-Dimethylamino-2-(4-fluorophenyl)-3-[2-(1-phenylethylamino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-hydroxy-3-(2-phenoxypyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

Morpholine-4-carboxylic acid 6-(4-fluorophenyl)-5-oxo-7-(2-phenoxypyrimidin-4-yl)-2,3-dihydro-1*H*,5*H*-pyrazolo[1,2-*a*]pyrazol-2-yl ester;

2-(4-Fluorophenyl)-6-methoxy-3-[2-(2-(S)-methoxy-1-methylethylamino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-methylene-3-[2-(2-(S)-phenyl-1-methylethylamino)-pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(4-Fluorophenyl)-6-hydroxy-6-hydroxymethyl-3-(2-phenoxypyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]pyrazol-1-one;

2-(3-trifluoromethylphenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-(2-(6-aminopyrimidin-4-yloxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-[2-(3-fluorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-[2-(2,4-dimethylphenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(2,4-difluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-fluorophenyl)-3-[2-(4-chlorophenoxy)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo-[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[1-(*R,S*)-(4-fluorophenyl)ethylamino]pyrimidin-4-yl}-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-{4-[2-(4-Fluorophenyl)-3-oxo-6,7-dihydro-3*H*,5*H*-pyrazolo[1,2-*a*]pyrazol-1-yl]-pyrimidin-2-ylamino}-propionic acid;

2-{4-[2-(4-Fluorophenyl)-3-oxo-6,7-dihydro-3*H*,5*H*-pyrzolo[1,2-*a*]pyrazol-1-yl]-pyrimidin-2-ylamino}-*N*,*N*-dimethyl propionamide;

2-(4-Fluorophenyl)-3-(2-([1,3,4]thiadiazol-2-ylamino)pyrimidin-4-yl)-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(pyridin-2-ylmethyl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-[(2-methoxypropylamino)pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(furan-2-ylmethyl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

2-(4-Fluorophenyl)-3-{2-[(3-benzo[1,3]dioxol-5-yl)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one;

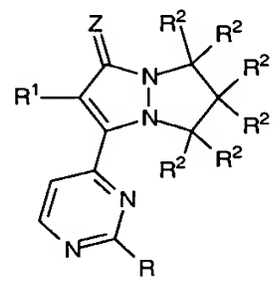
2-(4-Fluorophenyl)-3-{2-[(1-(propane-1-sulfonyl)piperidin-4-ylamino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one; and

2-(4-Fluorophenyl)-3-{2-(4-methoxybenzylamino)amino]pyrimidin-4-yl]-6,7-dihydro-5*H*-pyrazolo[1,2-a]pyrazol-1-one; and

b) one or more pharmaceutically acceptable excipients.

14. A pharmaceutical composition comprising:

a) an effective amount of one or more bicyclic pyrazolones including all enantiomeric and diasteriomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein R is:

a) $-O[CH_2]_kR^3$; or

b) $-NR^{4a}R^{4b}$;

R^3 is substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5;

R^{4a} and R^{4b} are each independently:

a) hydrogen; or

b) $-[C(R^{5a}R^{5b})]_mR^6$;

each R^{5a} and R^{5b} are independently hydrogen, or C_1-C_4 linear, branched, or cyclic alkyl, and mixtures thereof; R^6 is hydrogen, $-OR^7$, $-N(R^7)_2$, $-CO_2R^7$, $-CON(R^7)_2$; substituted or unsubstituted C_1-C_4 alkyl, substituted or unsubstituted heterocyclic, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl; R^7 is hydrogen, a water-soluble cation, C_1-C_4 alkyl, or substituted or unsubstituted aryl; the index m is from 0 to 5;

R^1 is:

a) substituted or unsubstituted aryl; or

b) substituted or unsubstituted heteroaryl;

each R^2 unit is independently selected from the group consisting of:

a) hydrogen;

b) $-(CH_2)_jO(CH_2)_nR^8$;

c) $-(CH_2)_jNR^{9a}R^{9b}$;

d) $-(CH_2)_jCO_2R^{10}$;

e) $-(CH_2)_jOCO_2R^{10}$

f) $-(CH_2)_jCON(R^{10})_2$;

g) $-(CH_2)_jOCON(R^{10})_2$;

h) two R^2 units can be taken together to form a carbonyl unit;

i) and mixtures thereof;

R^8, R^{9a}, R^{9b}, and R^{10} are each independently hydrogen, C_1-C_4 alkyl, and mixtures thereof; R^{9a} and R^{9b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two R^{10} units can be take together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5;

Z is O, S, NR^{11}, or NOR^{11}; R^{11} is hydrogen or C_1-C_4 alkyl;

b) an effective amount of one or more compounds having pain relief properties; and

c) one or more pharmaceutically acceptable excipients.

15. A composition according to Claim 14 wherein said compound having pain relief properties are selected from the group consisting of acetaminophen, aspirin, difunisal, dipyrone, ibuprofen, naproxen, fenoprofen, fenbufen, ketoprofen, flurbiprofen, indomethacin, ketorolac, diclofenac, floctafenine, piroxicam, celecoxib, and rofecoxib.

16. A composition according to Claim 14 wherein said compound having pain relief properties are selected from the group consisting of oxycodone, pethidine/meperidine, methadone, levorphanol, hydromorphone, and buprenorpnine.